=> file caplus

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FILE COVERS 1967 - 10 Jul 1998 VOL 129 ISS 2
FILE LAST UPDATED: 10 Jul 1998 (980710/ED)

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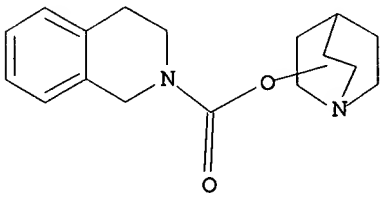
=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 22 SEA FILE=REGISTRY SSS FUL L1
L4 2 SEA FILE=CAPLUS L3

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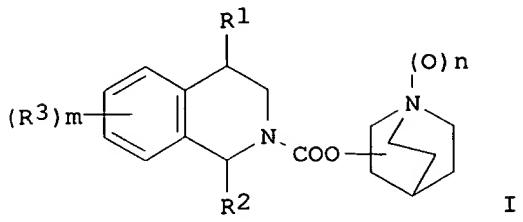
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 1998 ACS
ACCESSION NUMBER: 1998:35996 CAPLUS
DOCUMENT NUMBER: 128:114881
TITLE: Preparation of quinuclidine-containing isoquinolines and muscarine M3 receptor antagonists containing them
INVENTOR(S): Naito, Ryo; Takeuchi, Makoto; Okamoto, Yoshinori; Ikeda, Masaru; Isomura, Yasuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF

	NUMBER	DATE	
PATENT INFORMATION:	JP 10007675 A2	980113	Heisei
APPLICATION INFORMATION:	JP 96-162221	960621	

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
OTHER SOURCE(S): MARPAT 128:114881
GI



I

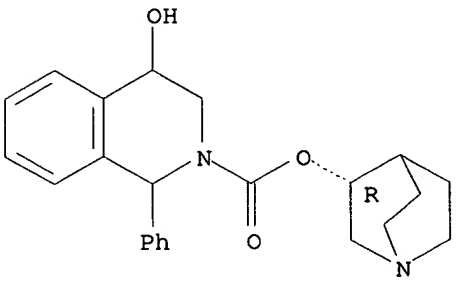
AB Isoquinolines I (R1 = OH, lower alkoxy, lower alkyl; R2 = aryl, cycloalkyl, heterocyclyl; R3 = halo, OH, lower alkoxy, CO2H, lower alkoxycarbonyl, lower acyl, etc.; m = 0-3; n = 0, 1) or their salts, useful as muscarine M3 receptor antagonists, are prepd. (.+-.)-Trans-1-phenyl-1,2,3,4-tetrahydro-4-isoquinolinol (0.28 g) was treated with 0.28 g (3R)-3-quinuclidinyl chloroformate.HCl at room temp. for 2.5 h to give 0.15 g trans-(1S,3'R,4S)- and trans-(1R,3'R,4R)-I (R1 = OH, R2 = Ph, R3 = H, n = 0). I was tested for in vitro muscarine receptor affinity and in vivo antagonistic activity.

IT **201660-36-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinuclidine-contg. isoquinolines as muscarine M3 receptor antagonists)

RN 201660-36-8 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-4-hydroxy-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, [2(R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

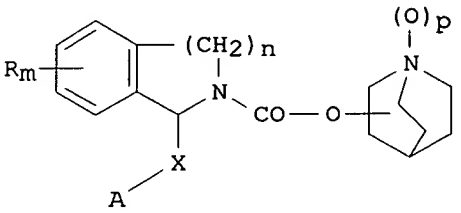


L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 1998 ACS
ACCESSION NUMBER: 1996:516723 CAPLUS
DOCUMENT NUMBER: 125:167804
TITLE: Preparation of new quinuclidine derivatives as muscarinic M3 receptor antagonists

INVENTOR(S): Takeuchi, Makoto; Naito, Ryo; Hayakawa, Masahiko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Ikeda, Ken; Isomura, Yasuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

	NUMBER	DATE
PATENT INFORMATION:	WO 9620194 A1	960704
DESIGNATED STATES:	W: AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG	
APPLICATION INFORMATION:	WO 95-JP2713	951227
PRIORITY APPLN. INFO.:	JP 94-327045	941228

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
OTHER SOURCE(S): MARPAT 125:167804
GI

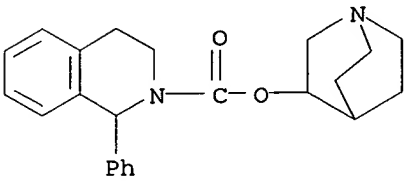


I

AB Quinuclidine derivs. I [ring A = optionally substituted aryl, cycloalkyl, cycloalkenyl, heteroaryl contg. 1 to 4 heteroatoms selected from among oxygen, nitrogen and sulfur, or 5- to 7-membered satd. heterocycle; X = single bond or methylene; R = halo, hydroxy, lower alkoxy, carboxy, lower alkoxycarbonyl, lower acyl, mercapto, lower alkylthio, sulfonyl, lower alkylsulfonyl, sulfinyl, lower alkylsulfinyl, sulfonamido, lower alkanesulfonamido, carbamoyl, thio-carbamoyl, mono- or di(lower alkyl)carbamoyl, nitro, cyano, amino, mono- or di(lower alkyl)amino, methylenedioxy, ethylenedioxy or lower alkyl optionally substituted by halogeno, hydroxy, lower alkoxy, amino or mono- or di(lower alkyl)amino; p = 0 or 1; m = integer of 1 to 3; n = integer of 1 or 2], their salts, N-oxides, or quaternary ammonium salts, having an antagonistic effect on muscarinic M3 receptors and are useful as a preventive or remedy for urol. diseases, respiratory diseases or digestive diseases, are prepd. Thus, Et 1-phenyl-1,2,3,4-tetrahydro-2-isoquinolinecarboxylate (prepn. given) was reacted with 3-quinuclidinol in toluene contg. NaH at 140.degree. for 2 days to give the title compd. 3-quinuclidinyl 1-phenyl-1,2,3,4-tetrahydro-2-isoquinolinecarboxylate isolated as the oxalate salt. In an in vitro study, I had Ki values of 10-3 to 10-10 M against muscarinic M3 receptors.
IT **180272-14-4P 180272-15-5P 180272-16-6P**

180272-17-7P 180272-19-9P 180272-20-2P
180272-21-3P 180272-23-5P 180272-24-6P
180272-25-7P 180272-26-8P 180272-27-9P
180272-28-0P 180272-29-1P 180272-30-4P
180468-37-5P 180468-38-6P 180468-39-7P
180468-40-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of new quinuclidine derivs. as muscarinic M3 receptor antagonists)

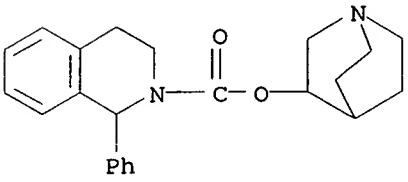
RN 180272-14-4 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



RN 180272-15-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

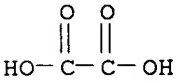
CM 1

CRN 180272-14-4
CMF C23 H26 N2 O2



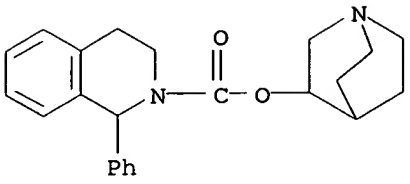
CM 2

CRN 144-62-7
CMF C2 H2 O4



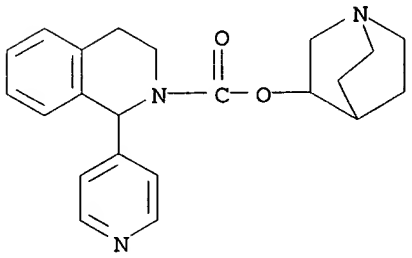
RN 180272-16-6 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride (9CI) (CA

INDEX NAME)



● HCl

RN 180272-17-7 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-(4-pyridinyl)-, 1-azabicyclo[2.2.2]oct-3-yl ester, dihydrochloride (9CI) (CA INDEX NAME)

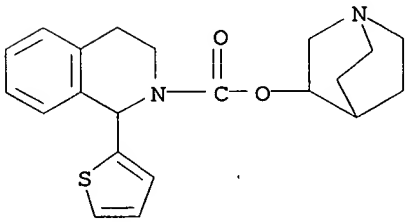


●2 HCl

RN 180272-19-9 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-(2-thienyl)-, 1-azabicyclo[2.2.2]oct-3-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

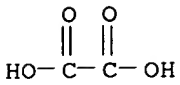
CM 1

CRN 180272-18-8
CMF C21 H24 N2 O2 S

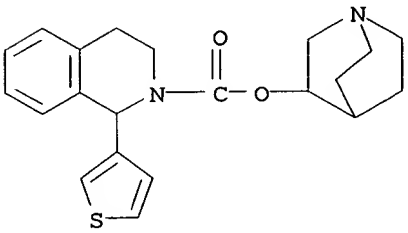


CM 2

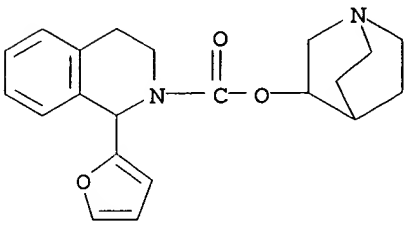
CRN 144-62-7
CMF C2 H2 O4



RN 180272-20-2 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-(3-thienyl)-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



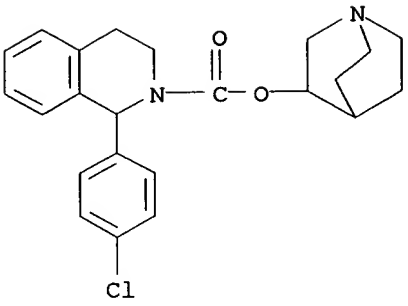
RN 180272-21-3 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 1-(2-furanyl)-3,4-dihydro-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



RN 180272-23-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 1-(4-chlorophenyl)-3,4-dihydro-, 1-azabicyclo[2.2.2]oct-3-yl ester, (E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

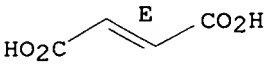
CRN 180272-22-4
CMF C23 H25 Cl N2 O2



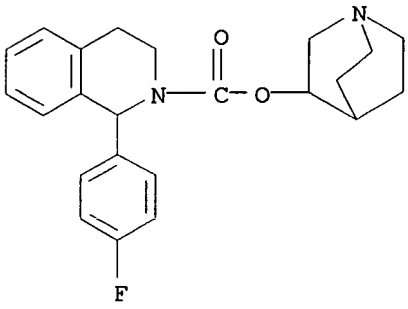
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

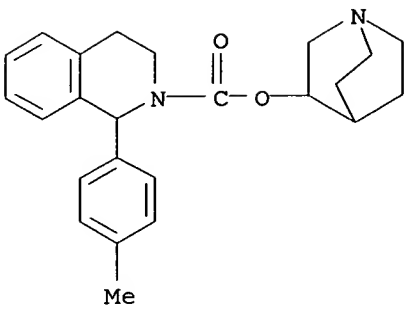
Double bond geometry as shown.



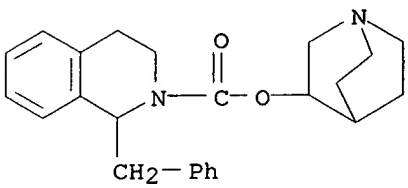
RN 180272-24-6 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 1-(4-fluorophenyl)-3,4-dihydro-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



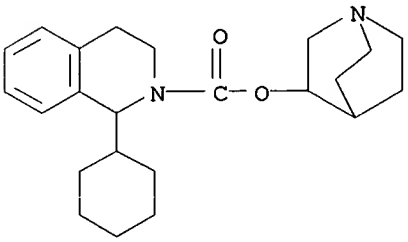
RN 180272-25-7 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-(4-methylphenyl)-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



RN 180272-26-8 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-(phenylmethyl)-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)

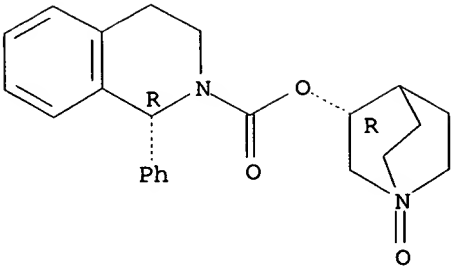


RN 180272-27-9 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 1-cyclohexyl-3,4-dihydro-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



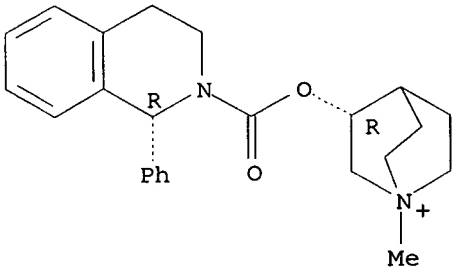
RN 180272-28-0 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-oxido-1-azabicyclo[2.2.2]oct-3-yl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



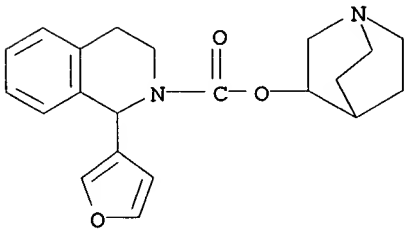
RN 180272-29-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl)carbonyl]oxy]-1-methyl-, iodide, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



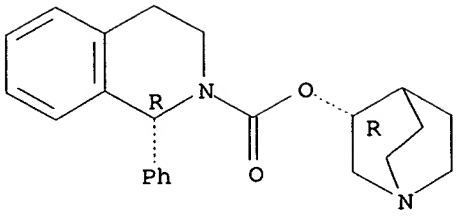
● I^-

RN 180272-30-4 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 1-(3-furanyl)-3,4-dihydro-, 1-azabicyclo[2.2.2]oct-3-yl ester (9CI) (CA INDEX NAME)



RN 180468-37-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

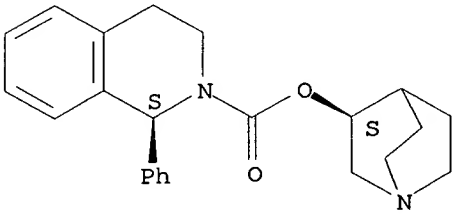
Absolute stereochemistry. Rotation (+).



● HCl

RN 180468-38-6 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

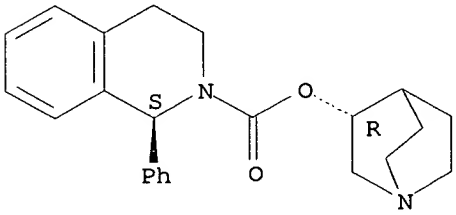
Absolute stereochemistry. Rotation (-).



● HCl

RN 180468-39-7 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

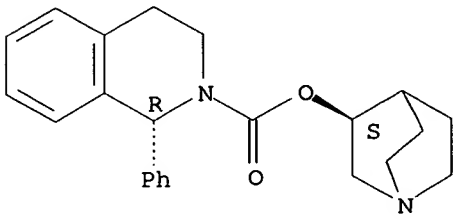


● HCl

RN 180468-40-0 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-1-phenyl-,

1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride, [S-(R*,S*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

=> file caold

FILE 'CAOLD' ENTERED AT 13:04:08 ON 10 JUL 1998
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1957-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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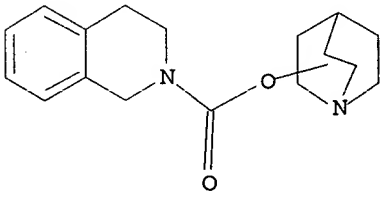

Structure attributes must be viewed using STN Express query preparation.
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L6 0 SEA FILE=CAOLD L3